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L11 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:805756 HCAPLUS

DOCUMENT NUMBER: 128:48501

TITLE: Preparation of cyclopeptides, sulfonyltyrosine derivatives, and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases

INVENTOR(S): Brooks, Peter; Cheresh, David A.; Friedlander, Martin

PATENT ASSIGNEE(S): Scripps Research Institute, USA; Brooks, Peter; Cheresh, David A.; Friedlander, Martin

SOURCE: PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745447	A1	19971204	WO 1997-US9099	19970530
W:		AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
RW:		GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG		
AU 9732183	A1	19980105	AU 1997-32183	19970530
AU 738782	B2	20010927		
EP 907661	A1	19990414	EP 1997-927814	19970530
R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		
BR 9709514	A	19990810	BR 1997-9514	19970530
CN 1226254	A	19990818	CN 1997-196818	19970530
CN 1226172	A	19990818	CN 1997-196822	19970530
JP 2002515036	T2	20020521	JP 1997-542914	19970530
RU 2195312	C2	20021227	RU 1998-123834	19970530
NO 9805575	A	19990201	NO 1998-5575	19981127
KR 2000016301	A	20000325	KR 1998-709874	19981130
KR 2000016302	A	20000325	KR 1998-709875	19981130
PRIORITY APPLN. INFO.:			US 1996-15869P P	19960531
			US 1996-18733P P	19960531
			WO 1997-US9099 W	19970530

AB The present invention describes methods for inhibiting angiogenesis in tissues using vitronectin  $\alpha\text{v}\beta 5$  antagonists. The  $\alpha\text{v}\beta 5$ -mediated angiogenesis is correlated with exposure to cytokines including vascular endothelial growth factor, transforming growth factor- $\alpha$  and epidermal growth factor. Inhibition of  $\alpha\text{v}\beta 5$ -mediated angiogenesis is particularly preferred in vascular endothelial ocular neovascular diseases, in tumor growth and in inflammatory conditions, using therapeutic compns. containing  $\alpha\text{v}\beta 5$  antagonists. Thus, cyclopeptide cyclo(Arg-Asp-Gly-D-Phe-N-MeVal) (I) was prepared by standard solid-phase methods using 9-fluorenylmethoxycarbonyl (Fmoc)

chemical I and related RGD cyclopeptides, as well as N-sulfonyl-O-guanidinyllalkyltyrosine derivs., monoclonal antibodies, and synthetic

matrix metalloproteins peptides and fusion proteins were tested for angiogenesis inhibition in a number of models, including an in vivo rabbit eye model.

- IC ICM C07K014-435  
ICS C07K014-705; C07K014-78; C07K016-28; A61K038-16; A61K038-39; A61K039-395
- CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 15, 63
- ST angiogenesis inhibitor cyclopeptide vitronectin antagonist prepn;  
antitumor sulfonyltyrosine deriv prepn; monoclonal antibody prepn  
angiogenesis inhibitor; matrix metalloproteinase analog prepn angiogenesis  
inhibitor; eye disease treatment angiogenesis inhibitor prepn
- IT Peptides, preparation  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(cyclic; preparation of cyclopeptides as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)
- IT Eye, disease  
(diabetic retinopathy; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)
- IT Eye, disease  
(macula, degeneration; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)
- IT Antibodies  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(monoclonal; preparation of matrix metalloproteinase fusion protein analogs and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)
- IT Angiogenesis inhibitors  
Antiarthritics  
Antiglaucoma agents  
Antirheumatic agents  
Antitumor agents  
(preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)
- IT Eye, disease  
(retinopathy; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)
- IT Integrins  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
( $\alpha\text{v}\beta 3$ , inhibitors; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)
- IT 137813-35-5P 137813-36-6P 137894-01-0P  
153127-33-4P 161659-55-8P 170930-40-2P  
170930-42-4P 171035-58-8P 171035-59-9P  
188968-51-6P 188969-00-8P 199807-30-2P

199807-33-5P 199807-34-6P 199807-35-7P  
 199807-36-8P 199807-38-0P 200122-47-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of cyclopeptides as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

IT 188576-21-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of cyclopeptides as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

IT 199807-31-3P 199807-32-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of cyclopeptides as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

IT 141907-41-7DP, Matrix metalloproteinase, synthetic peptide and protein analogs 200014-08-0P 200014-09-1P  
 200014-10-4P 200014-11-5P 200014-12-6P  
 200014-13-7P 200014-14-8P 200014-15-9P  
 200014-16-0P 200014-17-1P 200014-18-2P  
 200014-19-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of matrix metalloproteinase fusion protein analogs and monoclonal antibodies as antitumor agents and  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

IT 188575-95-3P 188575-97-5P 188575-98-6P  
 188576-02-5P 188576-03-6P 188576-04-7P  
 188576-05-8P 188576-06-9P 199807-23-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sulfonyltyrosine derivs. as  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

IT 110-52-1, 1,4-Dibromobutane 111-24-0, 1,5-Dibromopentane  
 556-03-6, DL-Tyrosine 556-52-5, Oxiranemethanol  
 594-44-5, Ethanesulfonyl chloride 873-74-5,  
 p-Aminobenzonitrile 2386-60-9, Butanesulfonyl chloride  
 3978-80-1 10147-36-1, Propanesulfonyl chloride  
 21286-54-4, 10-Camphorsulfonyl chloride 38184-47-3,  
 3,5-Dimethylpyrazole-1-carboxamide nitrate 70642-86-3  
 142847-18-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of sulfonyltyrosine derivs. as  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

IT 18869-47-1P 19391-35-6P 129439-63-0P  
 178380-48-8P 188575-90-8P 188575-91-9P  
 188575-92-0P 188575-93-1P 188575-94-2P  
 188575-96-4P 188576-01-4P 188576-07-0P  
 188576-08-1P 188576-09-2P 188576-10-5P  
 188576-11-6P 188576-14-9P 188576-15-0P  
 188576-16-1P 199807-22-2P 199807-24-4P  
 199807-25-5P 199807-26-6P 199807-27-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of sulfonyltyrosine derivs. as  $\alpha\text{v}\beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

IT 137813-35-5P 137813-36-6P 137894-01-0P

153127-33-4P 161659-55-8P 170930-40-2P  
 170930-42-4P 171035-58-8P 171035-59-9P  
 188968-51-6P 188969-00-8P 199807-30-2P  
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 199807-36-8P 199807-38-0P 200122-47-0P

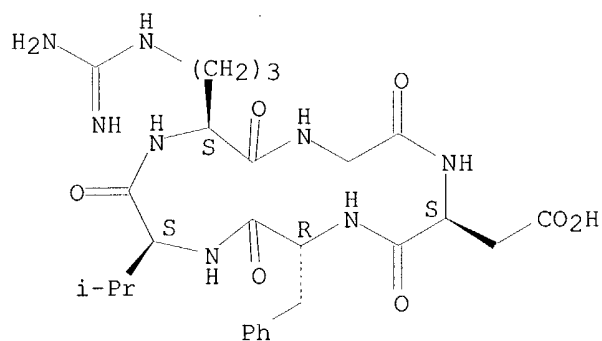
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopeptides as antitumor agents and  $\alpha v \beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

RN 137813-35-5 HCAPLUS

CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L-valyl) (9CI)  
 (CA INDEX NAME)

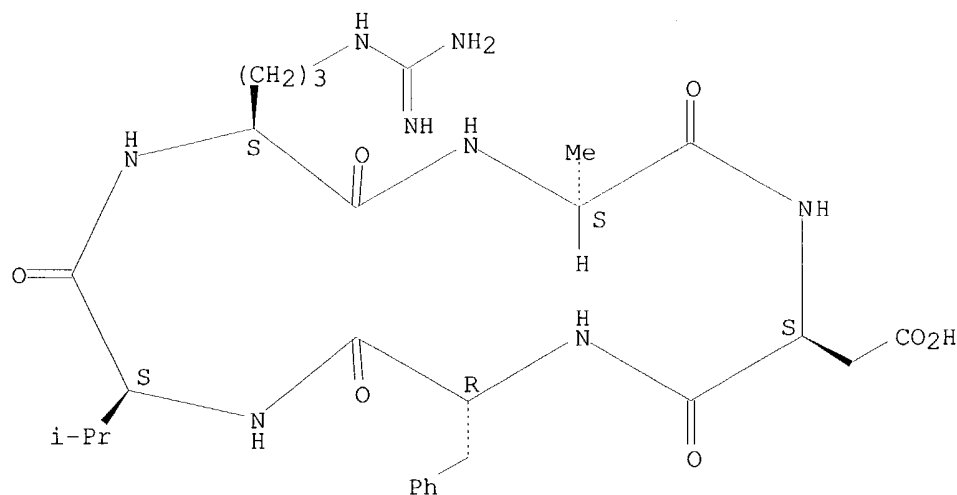
Absolute stereochemistry.



RN 137813-36-6 HCAPLUS

CN Cyclo(L-alanyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L-valyl-L-arginyl) (9CI)  
 (CA INDEX NAME)

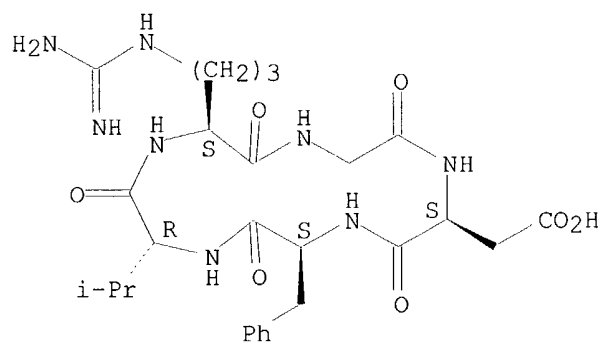
Absolute stereochemistry.



RN 137894-01-0 HCAPLUS

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 (CA INDEX NAME)

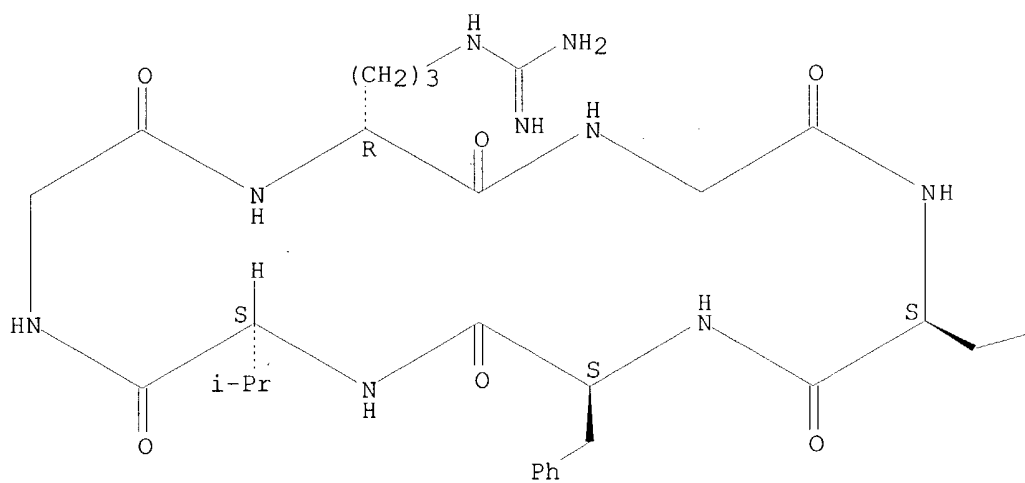
Absolute stereochemistry.



RN 153127-33-4 HCAPLUS  
 CN Cyclo(D-arginylglycyl-L-α-aspartyl-L-phenylalanyl-L-valylglycyl)  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

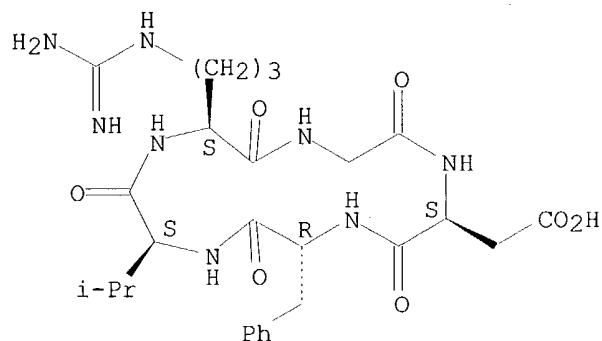


PAGE 1-B

—CO<sub>2</sub>H

RN 161659-55-8 HCAPLUS  
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 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

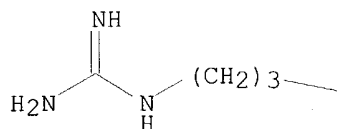
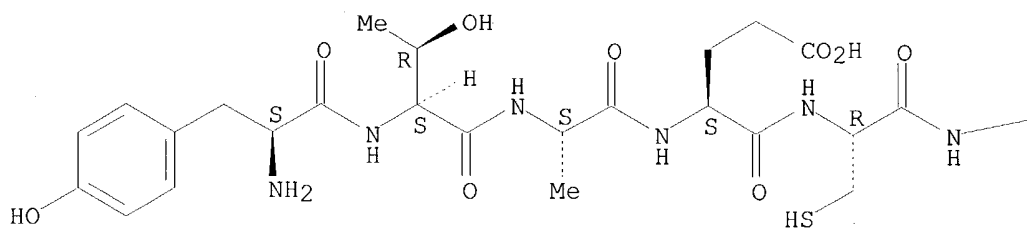


● HCl

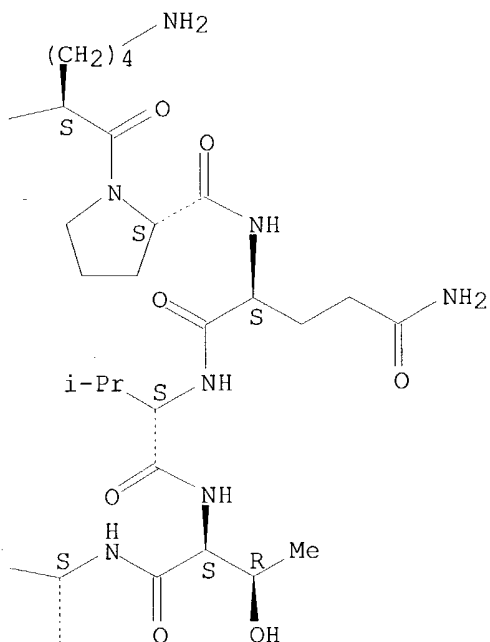
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 CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L- $\alpha$ -glutamyl-L-  
 cysteinyl-L-lysyl-L-prolyl-L-glutamyl-L-valyl-L-threonyl-L-arginylglycyl-  
 L- $\alpha$ -aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

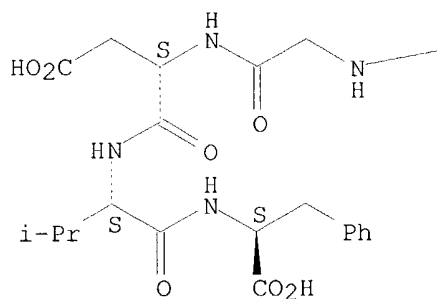
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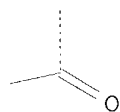
PAGE 1-B



PAGE 2-A



PAGE 2-B



RN 170930-42-4 HCAPLUS  
 CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L- $\alpha$ -glutamyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutamyl-L-valyl-L-threonyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-valyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

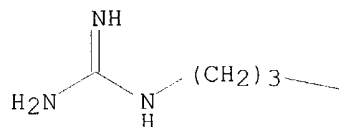
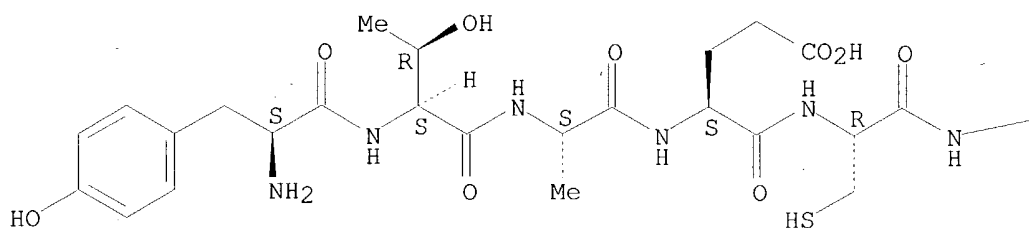
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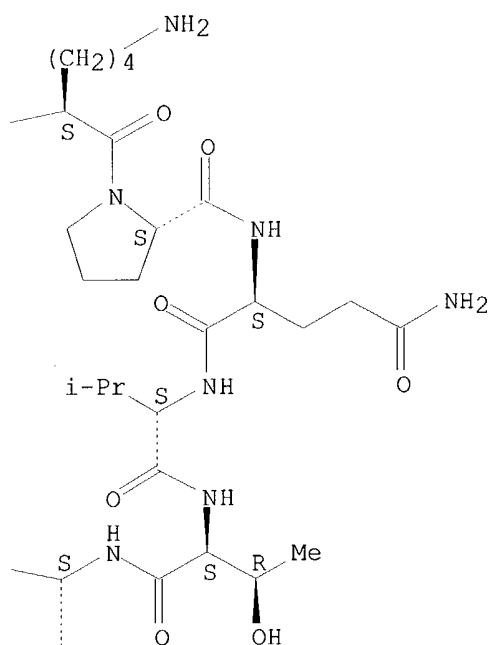
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Absolute stereochemistry.

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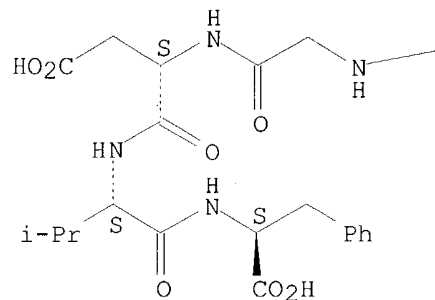


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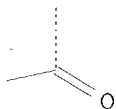




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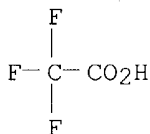


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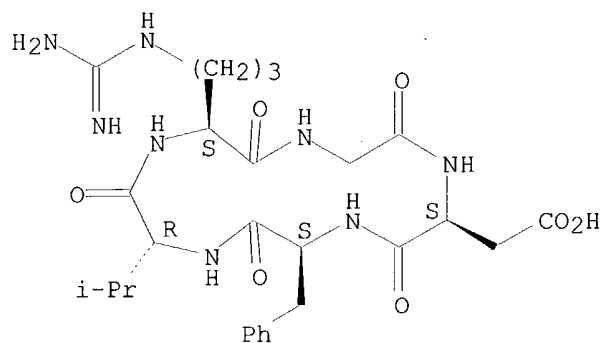


RN 171035-58-8 HCAPLUS  
CN Cyclo(L-arginylglycyl-L-α-aspartyl-L-phenylalanyl-D-valyl),  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 137894-01-0  
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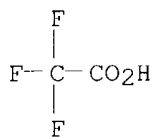
Absolute stereochemistry.



CM 2

CRN 76-05-1

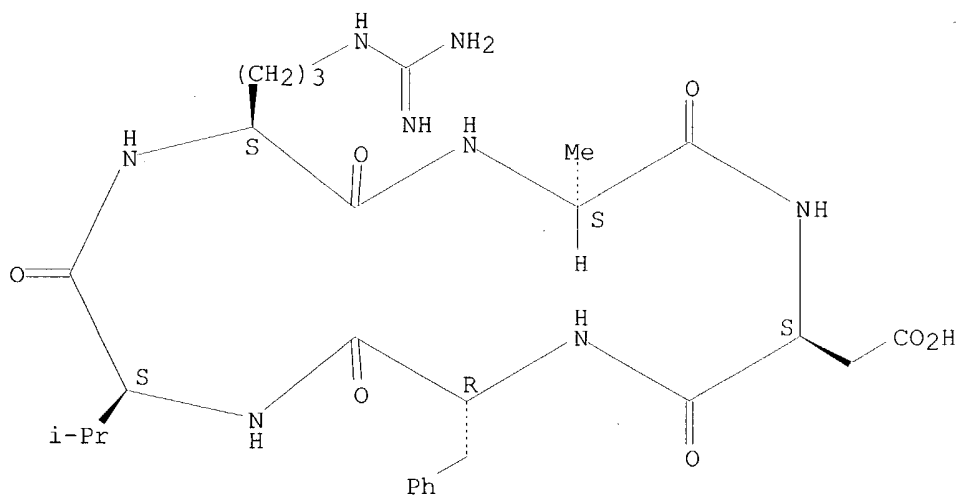
CMF C2 H F3 O2



RN 171035-59-9 HCAPLUS

CN Cyclo(L-alanyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L-valyl-L-arganyl),  
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

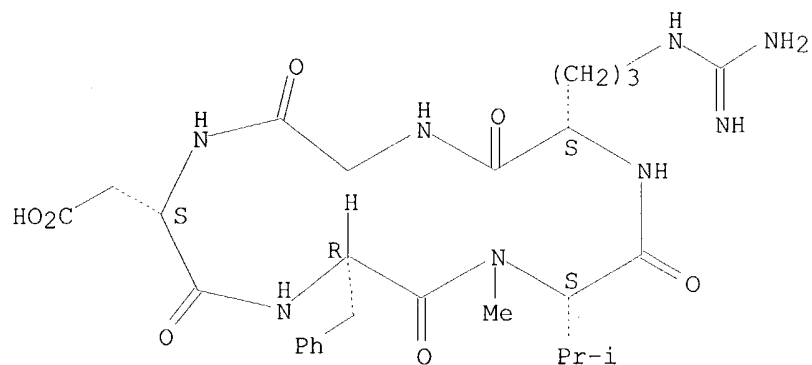


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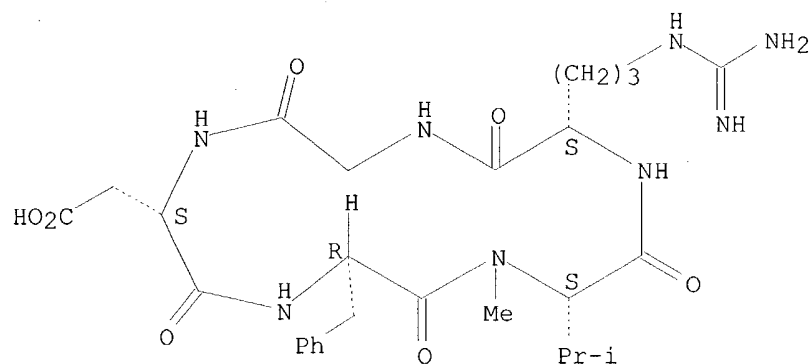
CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-N-methyl-L-valyl)  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188969-00-8 HCAPLUS  
CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-N-methyl-L-valyl),  
monohydrochloride (9CI) (CA INDEX NAME)

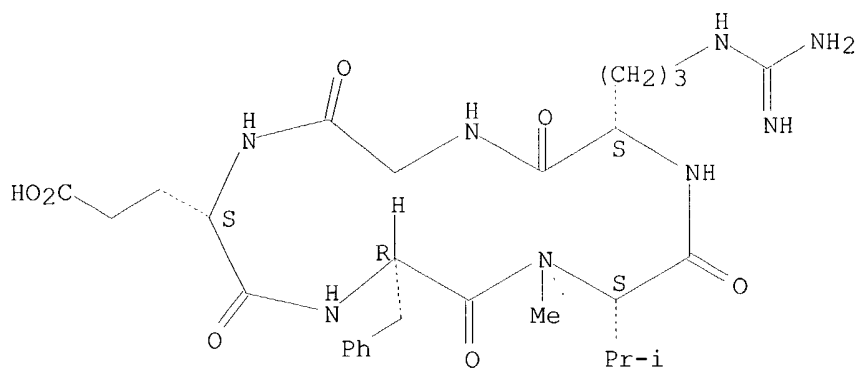
Absolute stereochemistry.



● HCl

RN 199807-30-2 HCAPLUS  
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(9CI) (CA INDEX NAME)

Absolute stereochemistry.

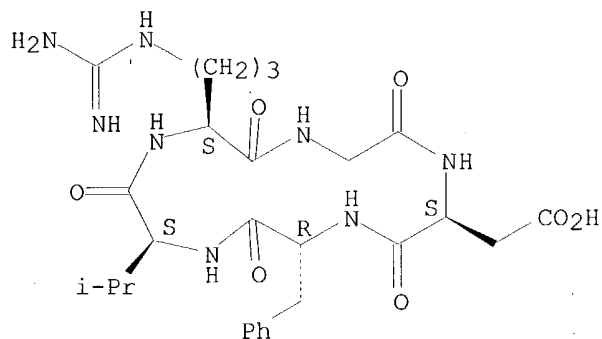


RN 199807-33-5 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L-α-aspartyl-D-phenylalanyl-L-valyl),  
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

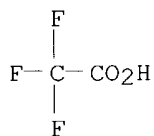
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Absolute stereochemistry.



CM 2

CRN 76-05-1  
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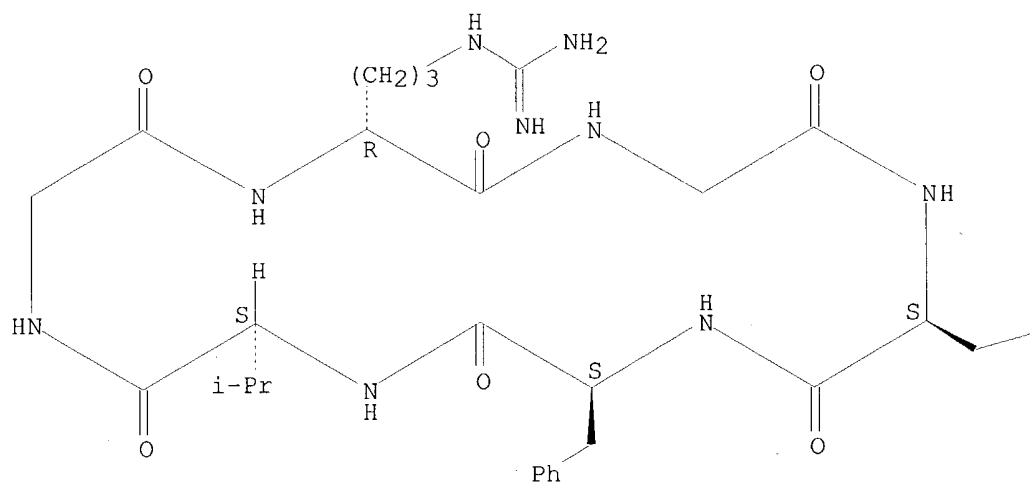
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 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 153127-33-4  
CMF C28 H41 N9 O8

Absolute stereochemistry.

PAGE 1-A

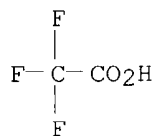


PAGE 1-B

CO<sub>2</sub>H

CM 2

CRN 76-05-1  
CMF C2 H F3 O2



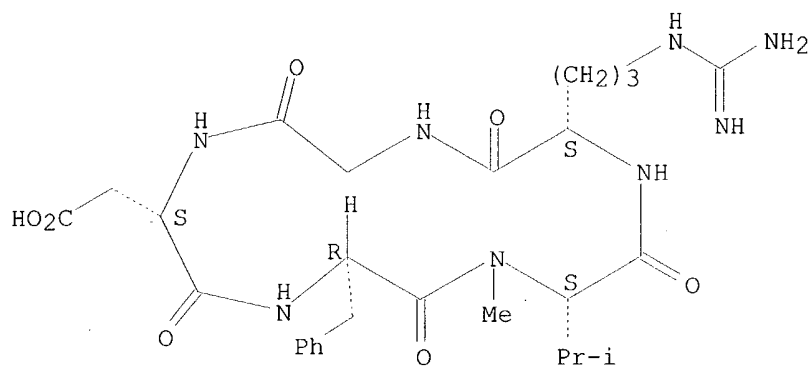
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CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-N-methyl-L-valyl),  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

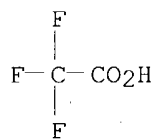
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CMF C27 H40 N8 O7

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

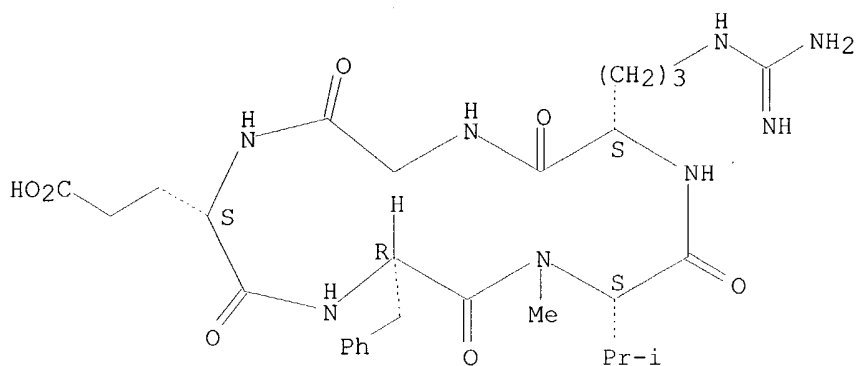


RN 199807-36-8 HCAPLUS  
CN Cyclo(L-arginylglycyl-L- $\alpha$ -glutamyl-D-phenylalanyl-N-methyl-L-valyl),  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

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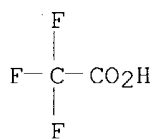
Absolute stereochemistry.



CM 2

CRN 76-05-1

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RN 199807-38-0 HCAPLUS

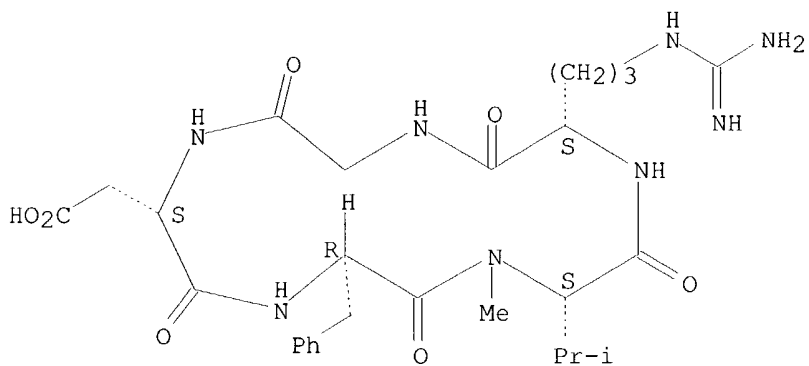
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monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 188968-51-6

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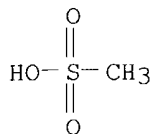
Absolute stereochemistry.



CM 2

CRN 75-75-2

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RN 200122-47-0 HCAPLUS

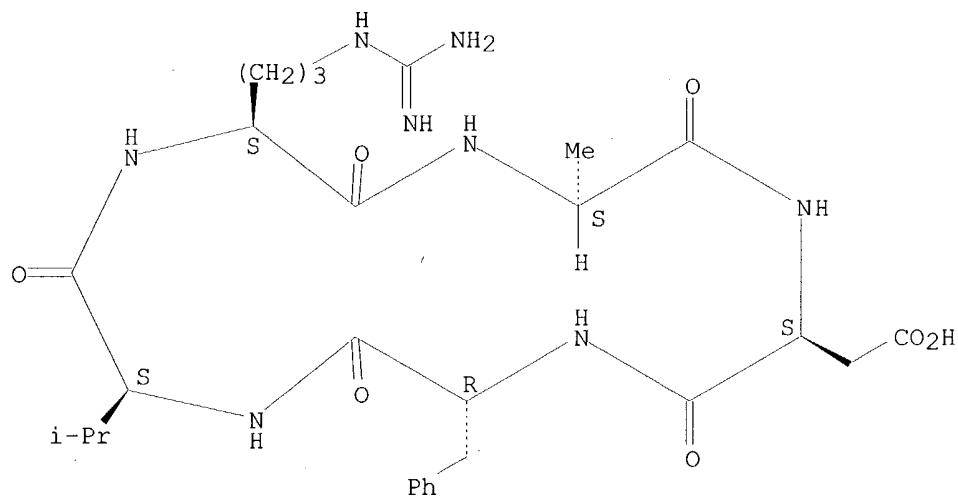
CN Cyclo(L-alanyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L-valyl-L-arginyl),  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

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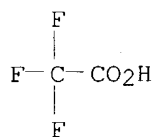
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 188576-21-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of cyclopeptides as antitumor agents and  $\alpha\text{v}\beta 5$ 

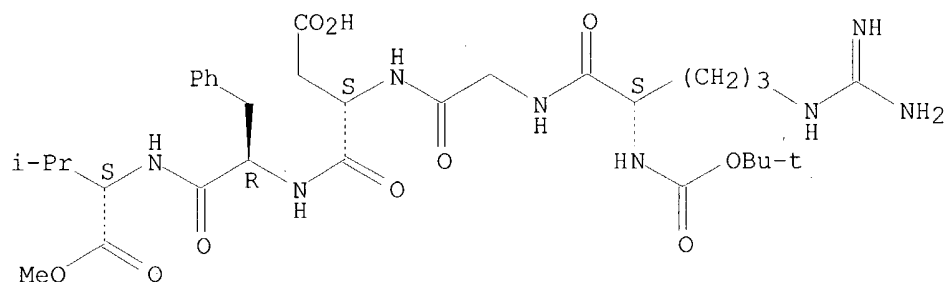
mediated angiogenesis inhibitors for treatment of eye diseases)

RN 188576-21-8 HCAPLUS



CN L-Valine, N2-[(1,1-dimethylethoxy)carbonyl]-L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-, 5-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 199807-31-3P 199807-32-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

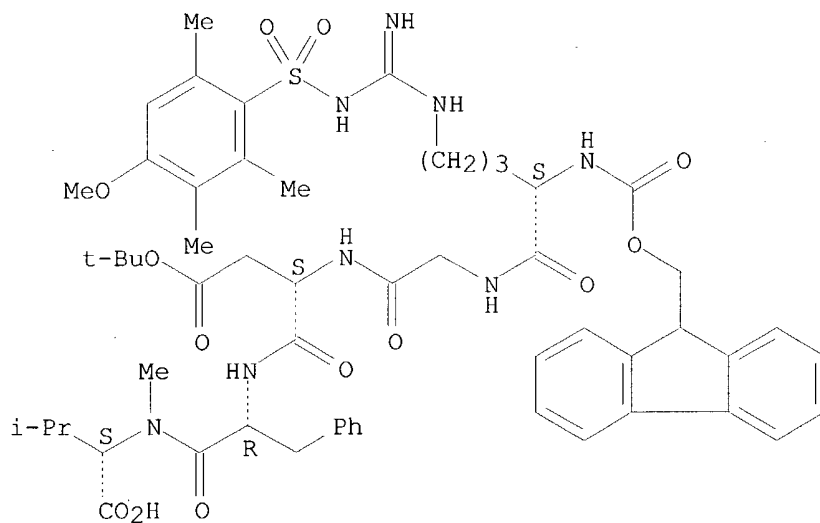
(preparation of cyclopeptides as antitumor agents and  $\alpha v \beta 5$  mediated angiogenesis inhibitors for treatment of eye diseases)

RN 199807-31-3 HCAPLUS

CN L-Valine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N5-[imino[[ (4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-N-methyl-, 3-(1,1-dimethylethyl) ester, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● Na

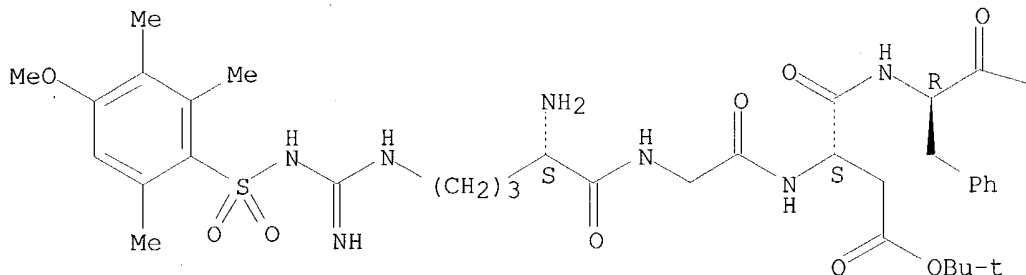
RN 199807-32-4 HCAPLUS

CN L-Valine, N5-[imino[[ (4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methy

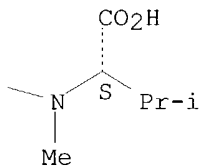
1]-L-ornithylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-N-methyl-,  
3-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



IT 141907-41-7DP, Matrix metalloproteinase, synthetic peptide and  
protein analogs 200014-08-0P 200014-09-1P  
200014-10-4P 200014-11-5P 200014-12-6P  
200014-13-7P 200014-14-8P 200014-15-9P  
200014-16-0P 200014-17-1P 200014-18-2P  
200014-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of matrix metalloproteinase fusion protein analogs and  
monoclonal antibodies as antitumor agents and  $\alpha v \beta 5$  mediated  
angiogenesis inhibitors for treatment of eye diseases)

RN 141907-41-7 HCAPLUS  
CN Proteinase, matrix metallo- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-08-0 HCAPLUS  
CN 410-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-09-1 HCAPLUS  
CN 439-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-10-4 HCAPLUS  
CN 439-512-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-11-5 HCAPLUS  
CN 439-546-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-12-6 HCAPLUS  
 CN 510-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-13-7 HCAPLUS  
 CN 543-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-14-8 HCAPLUS  
 CN 400-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-15-9 HCAPLUS  
 CN 435-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-16-0 HCAPLUS  
 CN 435-508-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-17-1 HCAPLUS  
 CN 435-542-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-18-2 HCAPLUS  
 CN 506-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 200014-19-3 HCAPLUS  
 CN 539-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

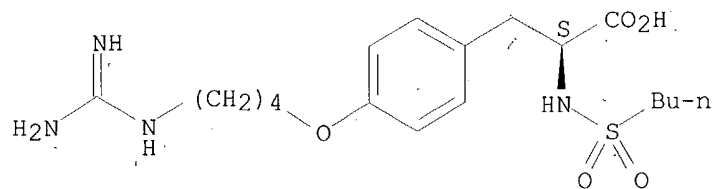
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 188575-95-3P 188575-97-5P 188575-98-6P  
 188576-02-5P 188576-03-6P 188576-04-7P  
 188576-05-8P 188576-06-9P 199807-23-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sulfonyltyrosine derivs. as  $\alpha\beta$ 5 mediated angiogenesis inhibitors for treatment of eye diseases)

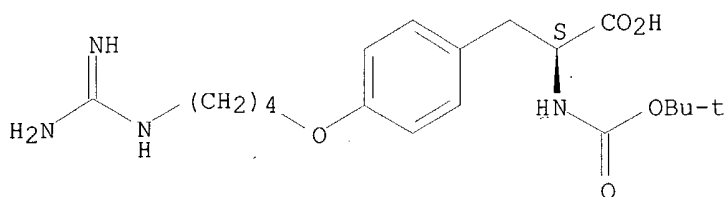
RN 188575-95-3 HCAPLUS  
 CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 188575-97-5 HCAPLUS  
 CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

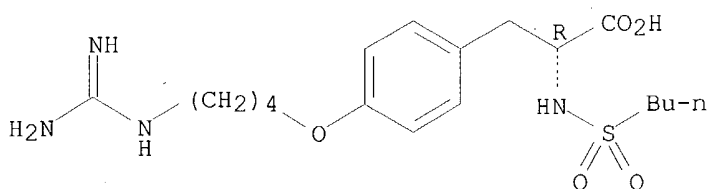
Absolute stereochemistry.



RN 188575-98-6 HCAPLUS

CN D-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI)  
(CA INDEX NAME)

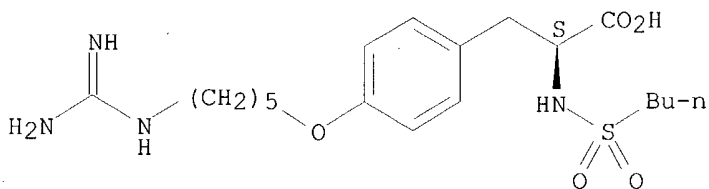
Absolute stereochemistry.



RN 188576-02-5 HCAPLUS

CN L-Tyrosine, O-[5-[(aminoiminomethyl)amino]pentyl]-N-(butylsulfonyl)- (9CI)  
(CA INDEX NAME)

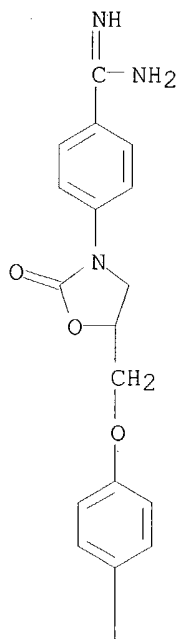
Absolute stereochemistry.



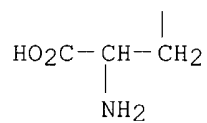
RN 188576-03-6 HCAPLUS

CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-  
, dihydrochloride (9CI) (CA INDEX NAME)

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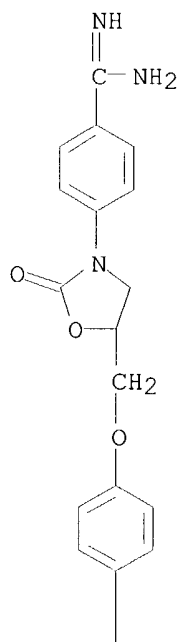
PAGE 2-A



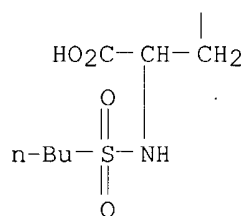
● 2 HCl

RN 188576-04-7 HCAPLUS  
 CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-  
 N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

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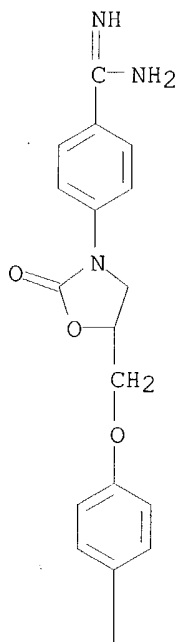


PAGE 2-A

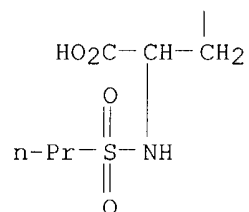


RN 188576-05-8 HCAPLUS  
 CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-  
 N-(propylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

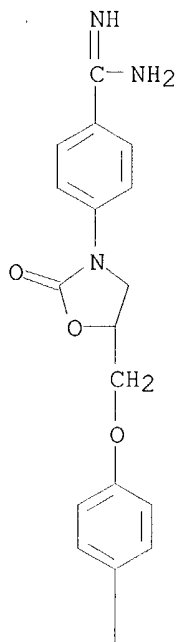


PAGE 2-A

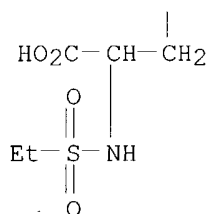


RN 188576-06-9 HCAPLUS  
 CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-  
 N-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

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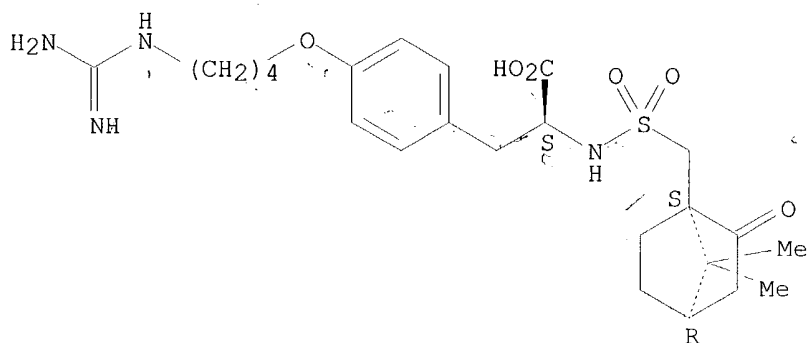


RN 199807-23-3 HCAPLUS

CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





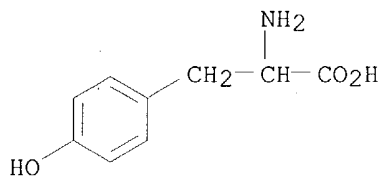
IT 110-52-1, 1,4-Dibromobutane 111-24-0, 1,5-Dibromopentane  
 556-03-6, DL-Tyrosine 556-52-5, Oxiranemethanol  
 594-44-5, Ethanesulfonyl chloride 873-74-5,  
 p-Aminobenzonitrile 2386-60-9, Butanesulfonyl chloride  
 3978-80-1 10147-36-1, Propanesulfonyl chloride  
 21286-54-4, 10-Camphorsulfonyl chloride 38184-47-3,  
 3,5-Dimethylpyrazole-1-carboxamide nitrate 70642-86-3  
 142847-18-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of sulfonyltyrosine derivs. as  $\alpha\text{v}\beta 5$  mediated  
 angiogenesis inhibitors for treatment of eye diseases)  
 RN 110-52-1 HCAPLUS  
 CN Butane, 1,4-dibromo- (8CI, 9CI) (CA INDEX NAME)

Br-(CH<sub>2</sub>)<sub>4</sub>-Br

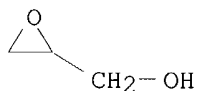
RN 111-24-0 HCAPLUS  
 CN Pentane, 1,5-dibromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Br-(CH<sub>2</sub>)<sub>5</sub>-Br

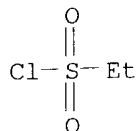
RN 556-03-6 HCAPLUS  
 CN Tyrosine (9CI) (CA INDEX NAME)



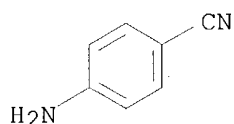
RN 556-52-5 HCAPLUS  
 CN Oxiranemethanol (9CI) (CA INDEX NAME)



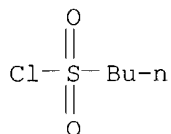
RN 594-44-5 HCAPLUS  
CN Ethanesulfonyl chloride (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 873-74-5 HCAPLUS  
CN Benzonitrile, 4-amino- (9CI) (CA INDEX NAME)

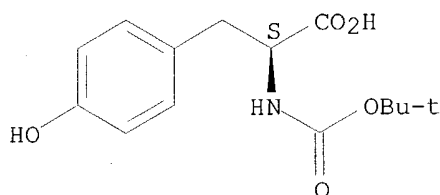


RN 2386-60-9 HCAPLUS  
CN 1-Butanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)

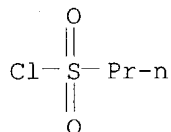


RN 3978-80-1 HCAPLUS  
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



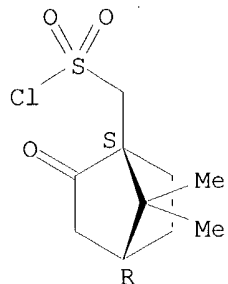
RN 10147-36-1 HCAPLUS  
CN 1-Propanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 21286-54-4 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonyl chloride, 7,7-dimethyl-2-oxo-,  
(1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



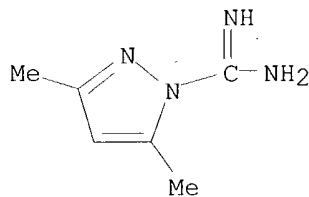
RN 38184-47-3 HCAPLUS

CN 1H-Pyrazole-1-carboximidamide, 3,5-dimethyl-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 22906-75-8

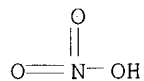
CMF C6 H10 N4



CM 2

CRN 7697-37-2

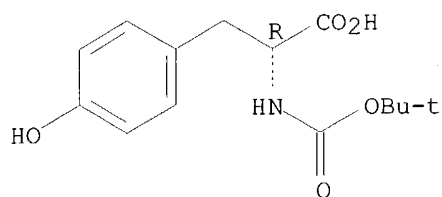
CMF H N O3



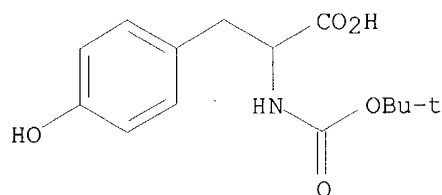
RN 70642-86-3 HCAPLUS

CN D-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

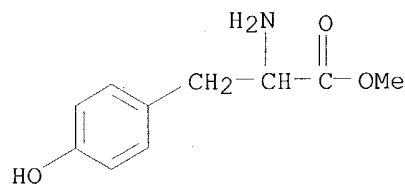
Absolute stereochemistry.



RN 142847-18-5 HCAPLUS  
 CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

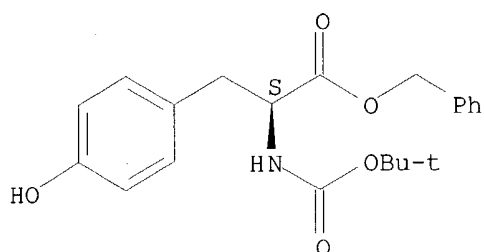


IT 18869-47-1P 19391-35-6P 129439-63-0P  
 178380-48-8P 188575-90-8P 188575-91-9P  
 188575-92-0P 188575-93-1P 188575-94-2P  
 188575-96-4P 188576-01-4P 188576-07-0P  
 188576-08-1P 188576-09-2P 188576-10-5P  
 188576-11-6P 188576-14-9P 188576-15-0P  
 188576-16-1P 199807-22-2P 199807-24-4P  
 199807-25-5P 199807-26-6P 199807-27-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of sulfonyltyrosine derivs. as  $\alpha\text{v}\beta 5$  mediated  
 angiogenesis inhibitors for treatment of eye diseases)  
 RN 18869-47-1 HCAPLUS  
 CN Tyrosine, methyl ester (9CI) (CA INDEX NAME)



RN 19391-35-6 HCAPLUS  
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI)  
 (CA INDEX NAME)

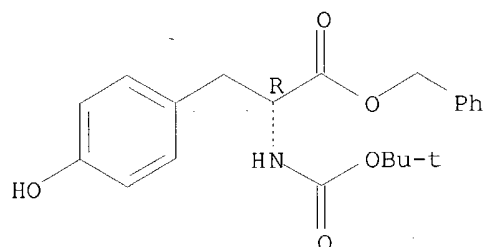
Absolute stereochemistry.



RN 129439-63-0 HCAPLUS

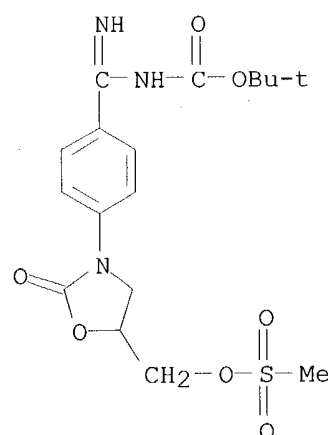
CN D-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 178380-48-8 HCAPLUS

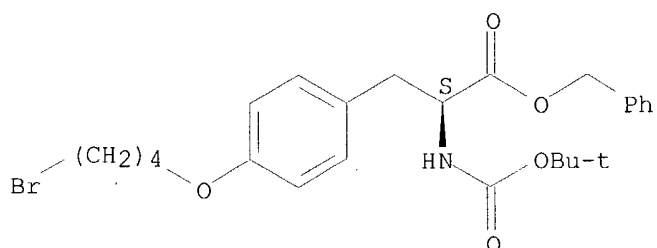
CN Carbamic acid, [imino[4-[5-[[[(methylsulfonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 188575-90-8 HCAPLUS

CN L-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

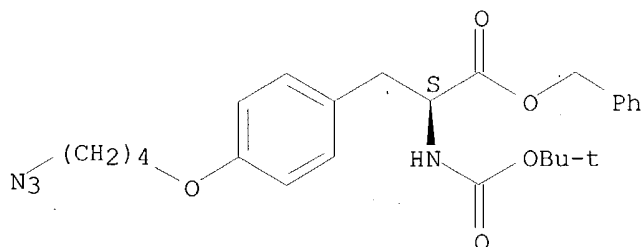
Absolute stereochemistry.



RN 188575-91-9 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

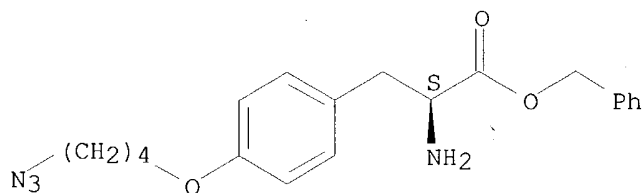
Absolute stereochemistry.



RN 188575-92-0 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

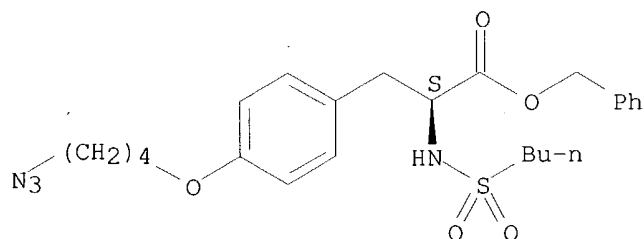
Absolute stereochemistry.



RN 188575-93-1 HCAPLUS

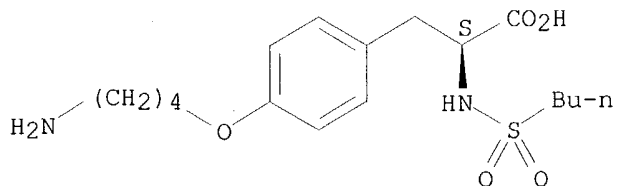
CN L-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



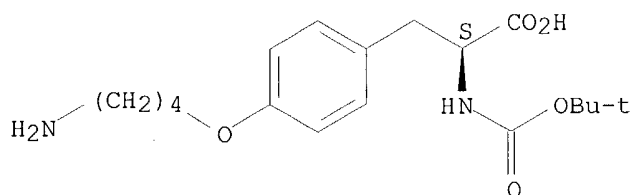
RN 188575-94-2 HCAPLUS  
 CN L-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



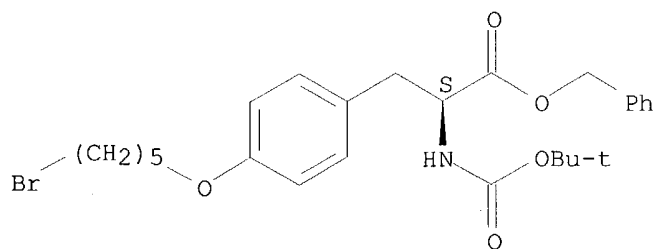
RN 188575-96-4 HCAPLUS  
 CN L-Tyrosine, O-(4-aminobutyl)-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



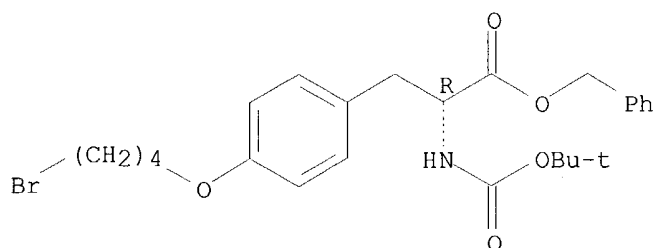
RN 188576-01-4 HCAPLUS  
 CN L-Tyrosine, O-(5-bromopentyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-07-0 HCAPLUS  
 CN D-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

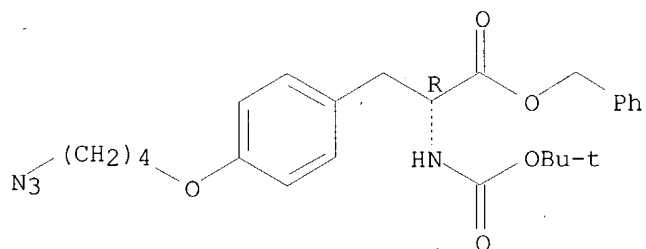
Absolute stereochemistry.



RN 188576-08-1 HCAPLUS

CN D-Tyrosine, O-(4-azidobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

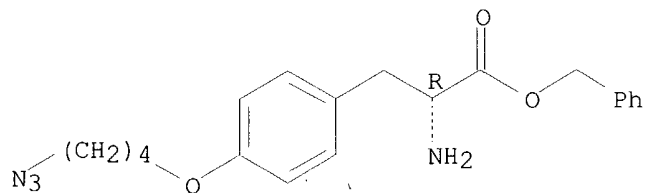
Absolute stereochemistry.



RN 188576-09-2 HCAPLUS

CN D-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

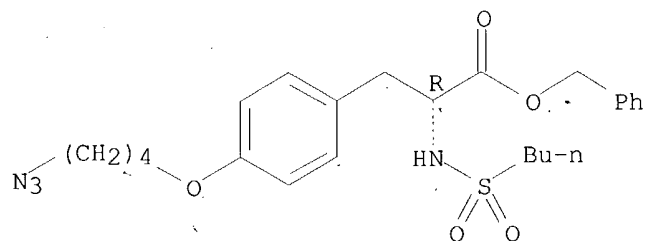
Absolute stereochemistry.



RN 188576-10-5 HCAPLUS

CN D-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

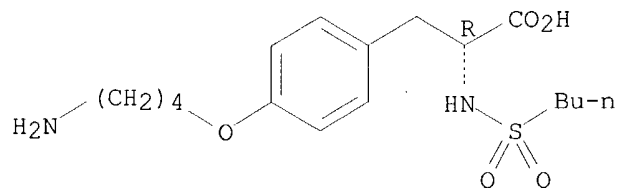




RN 188576-11-6 HCAPLUS

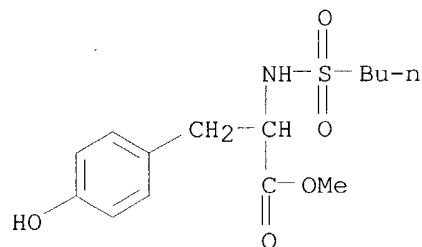
CN D-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



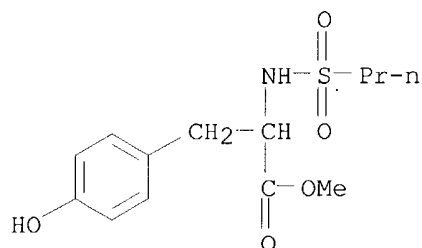
RN 188576-14-9 HCAPLUS

CN Tyrosine, N-(butylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



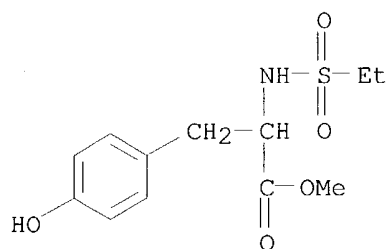
RN 188576-15-0 HCAPLUS

CN Tyrosine, N-(propylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 188576-16-1 HCAPLUS

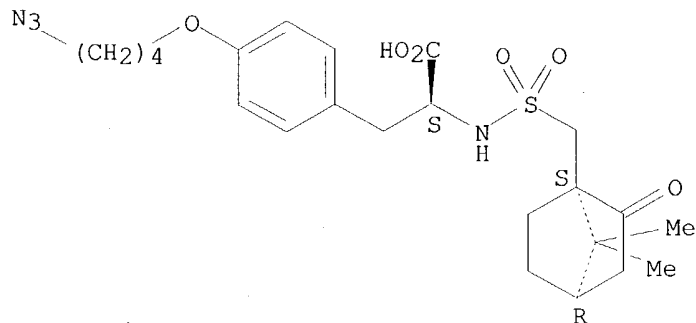
CN Tyrosine, N-(ethylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 199807-22-2 HCAPLUS

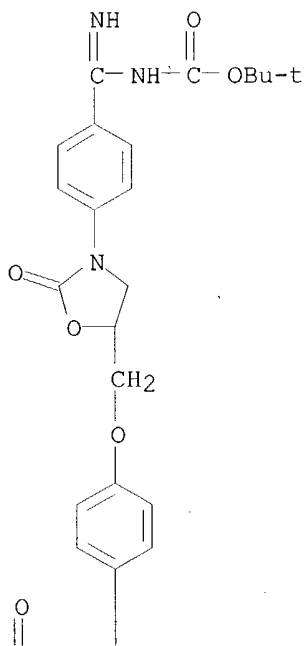
CN L-Tyrosine, O-(4-azidobutyl)-N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

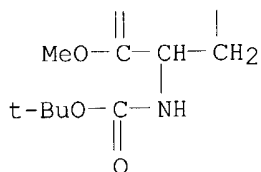


RN 199807-24-4 HCAPLUS  
 CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

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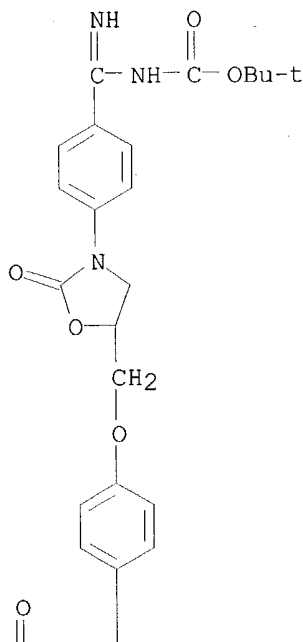


PAGE 2-A

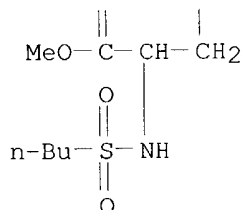


RN 199807-25-5 HCAPLUS  
 CN Tyrosine, N-(butylsulfonyl)-O-[[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI)  
 (CA INDEX NAME)

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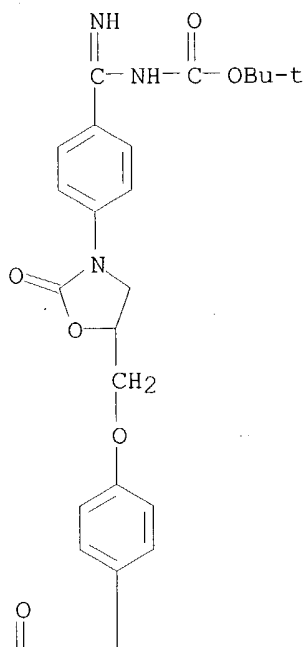
PAGE 2-A



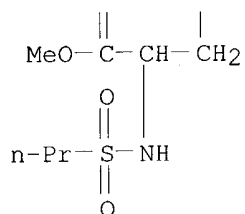
RN 199807-26-6 HCAPLUS  
 CN Tyrosine, O-[[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-(propylsulfonyl)-, methyl ester (9CI)

(CA INDEX NAME)

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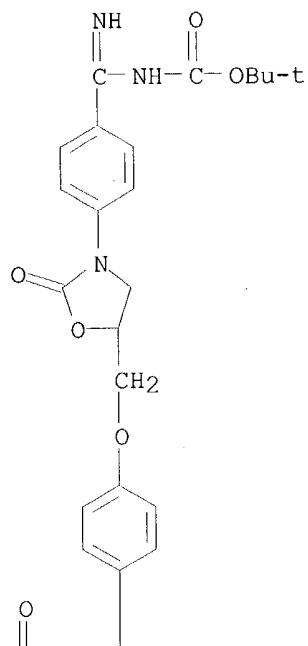


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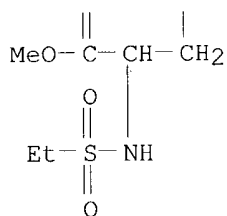


RN 199807-27-7 HCAPLUS  
 CN Tyrosine, O-[[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl  
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 (CA INDEX NAME)

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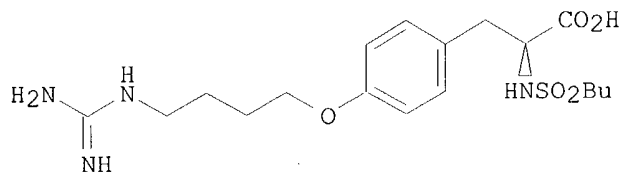
PAGE 2-A



L11 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1997:265569 HCAPLUS  
 DOCUMENT NUMBER: 126:251416  
 TITLE: Preparation of tyrosine derivatives as compounds  
 useful for inhibition of vitronectin  $\alpha v \beta 5$   
 integrin-mediated angiogenesis  
 INVENTOR(S): **Brooks, Peter; Cheresh, David A.;  
 Friedlander, Martin**  
 PATENT ASSIGNEE(S): Scripps Research Institute, USA; Brooks, Peter;  
 Cheresh, David A.; Friedlander, Martin  
 SOURCE: PCT Int. Appl., 126 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706791	A1	19970227	WO 1996-US13194	19960813
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM			
AU 9668466	A1	19970312	AU 1996-68466	19960813
AU 726793	B2	20001123		
EP 844874	A1	19980603	EP 1996-928868	19960813
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
CN 1198667	A	19981111	CN 1996-197429	19960813
JP 11511171	T2	19990928	JP 1996-509460	19960813
RU 2214268	C2	20031020	RU 1998-104128	19960813
ZA 9606886	A	19970424	ZA 1996-6886	19960814
NO 9800622	A	19980407	NO 1998-622	19980213
PRIORITY APPLN. INFO.:			US 1995-514799 A	19950814
			WO 1996-US13194 W	19960813

GI



- AB The present invention describes methods for inhibiting angiogenesis in tissues using vitronectin  $\alpha v\beta 5$  antagonists. The  $\alpha v\beta 5$ -mediated angiogenesis is correlated with exposure to cytokines including vascular endothelial growth factor, transforming growth factor- $\alpha$  and epidermal growth factor. Inhibition of  $\alpha v\beta 5$ -mediated angiogenesis is particularly preferred in vascular endothelial ocular neovascular diseases, in tumor growth and in inflammatory conditions, using therapeutic compns. containing  $\alpha v\beta 5$  antagonists. Thus, Boc-Tyr-OCH<sub>2</sub>Ph (preparation given) was converted in 6 steps into guanidino derivative I. I and related guanidine and amidine derivs. were useful as angiogenesis inhibitors.
- IC ICM A61K031-12  
ICS A61K038-00; A61K038-04; C07K005-00; C07K007-00; C07K016-00; C07K017-00
- CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 2, 15, 28, 63
- ST sulfonyltyrosine deriv prepn vitronectin receptor antagonist; monoclonal antibody vitronectin angiogenesis inhibitor; RGD cyclic peptide prepn angiogenesis inhibitor
- IT Antibodies  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(monoclonal; preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha v\beta 5$  integrin-mediated angiogenesis)

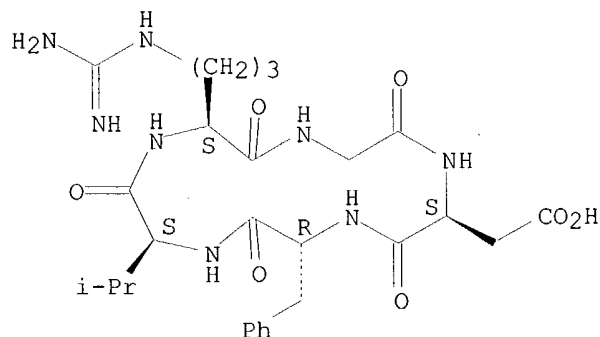
- IT Angiogenesis inhibitors  
(preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha\text{v}\beta 5$  integrin-mediated angiogenesis)
- IT RGD peptides  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha\text{v}\beta 5$  integrin-mediated angiogenesis)
- IT Vitronectin receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
( $\alpha\text{v}\beta 5$ ; preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha\text{v}\beta 5$  integrin-mediated angiogenesis)
- IT 137813-35-5P 137894-01-0P 153127-33-4P  
161659-55-8P 170930-40-2P 188575-95-3P  
188575-97-5P 188575-98-6P 188576-00-3P  
188576-02-5P 188576-03-6P 188576-04-7P  
188576-05-8P 188576-06-9P 188576-17-2P  
188576-18-3P 188576-19-4P 188576-20-7P  
188601-17-4P 188601-18-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha\text{v}\beta 5$  integrin-mediated angiogenesis)
- IT 110-52-1, 1,4-Dibromobutane 111-24-0, 1,5-Dibromopentane  
556-03-6, DL-Tyrosine 556-52-5, Oxiranemethanol  
594-44-5, Ethanesulfonyl chloride 873-74-5,  
p-Aminobenzonitrile 2386-60-9, Butanesulfonyl chloride  
3978-80-1 10147-36-1, Propanesulfonyl chloride  
21286-54-4, 10-Camphorsulfonyl chloride 38184-47-3,  
3,5-Dimethylpyrazole-1-carboxamidinium nitrate 70642-86-3  
142847-18-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha\text{v}\beta 5$  integrin-mediated angiogenesis)
- IT 19391-35-6P 129439-63-0P 178380-48-8P  
188575-90-8P 188575-91-9P 188575-92-0P  
188575-93-1P 188575-94-2P 188575-96-4P  
188575-99-7P 188576-01-4P 188576-07-0P  
188576-08-1P 188576-09-2P 188576-10-5P  
188576-11-6P 188576-13-8P 188576-14-9P  
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha\text{v}\beta 5$  integrin-mediated angiogenesis)
- IT 137813-35-5P 137894-01-0P 153127-33-4P  
161659-55-8P 170930-40-2P 188575-95-3P  
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188576-05-8P 188576-06-9P 188576-17-2P  
188576-18-3P 188576-19-4P 188576-20-7P  
188601-17-4P 188601-18-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin  $\alpha v \beta 5$  integrin-mediated angiogenesis)

RN 137813-35-5 HCAPLUS

CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L-valyl) (9CI)  
(CA INDEX NAME)

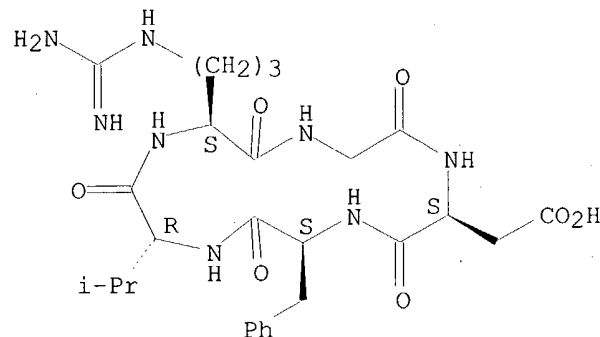
Absolute stereochemistry.



RN 137894-01-0 HCAPLUS

CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-L-phenylalanyl-D-valyl) (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



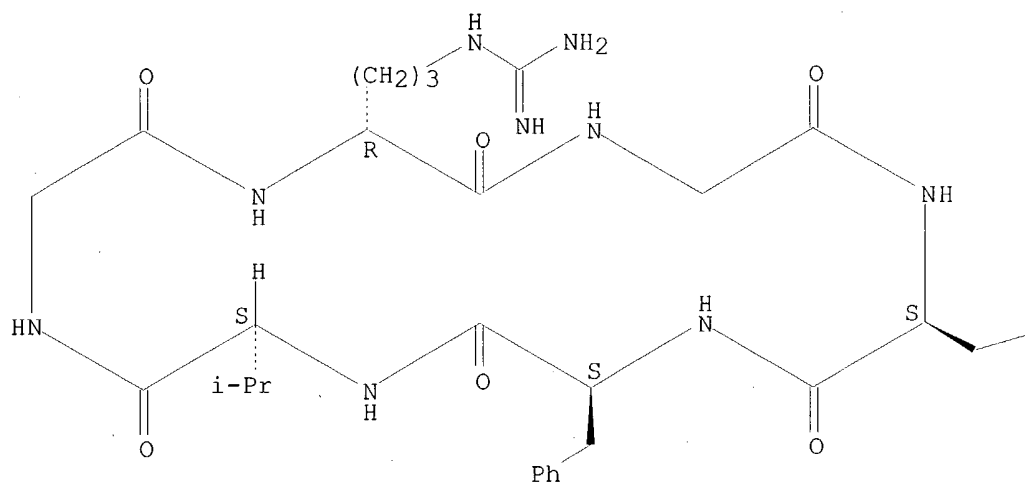
RN 153127-33-4 HCAPLUS

CN Cyclo(D-arginylglycyl-L- $\alpha$ -aspartyl-L-phenylalanyl-L-valylglycyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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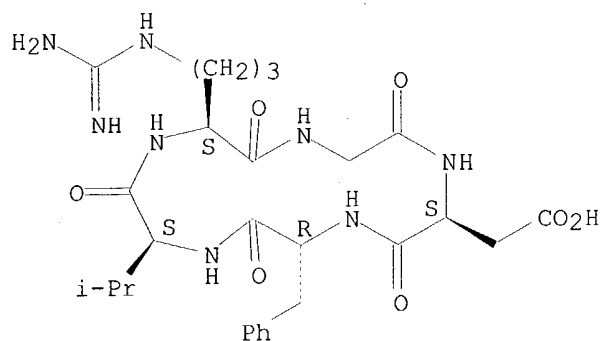
PAGE 1-B

—CO<sub>2</sub>H

RN 161659-55-8 HCAPLUS

CN Cyclo(L-arginylglycyl-L-α-aspartyl-D-phenylalanyl-L-valyl),  
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



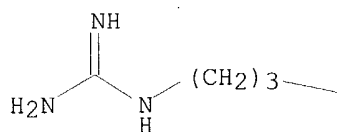
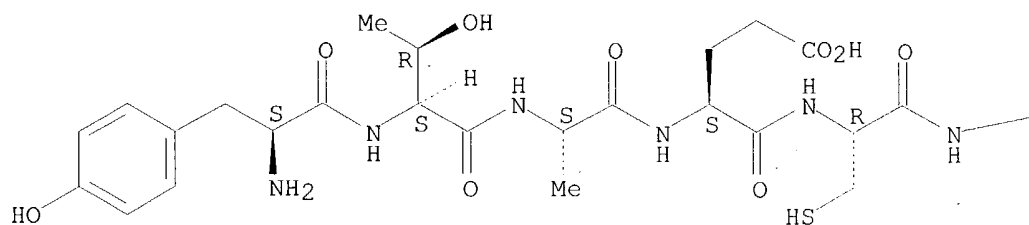
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RN 170930-40-2 HCAPLUS

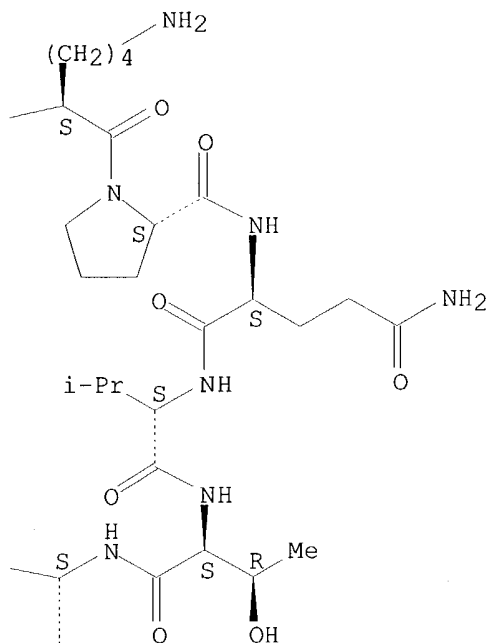
CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L- $\alpha$ -glutamyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutaminyl-L-valyl-L-threonyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

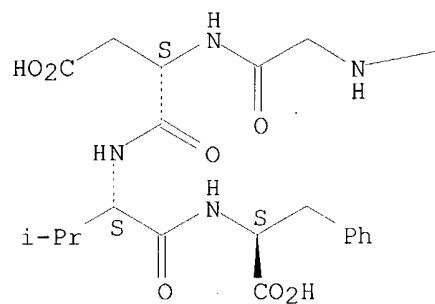
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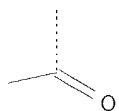
PAGE 1-B



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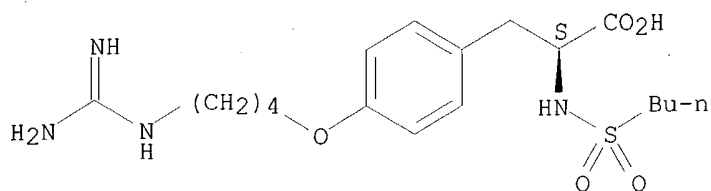


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RN 188575-95-3 HCAPLUS  
 CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI)  
 (CA INDEX NAME)

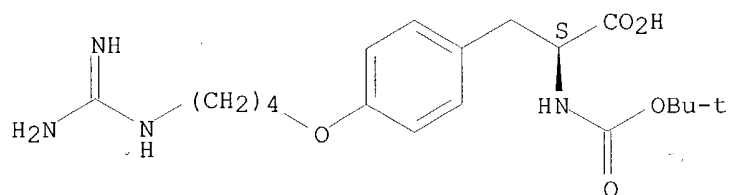
Absolute stereochemistry.



RN 188575-97-5 HCAPLUS

CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

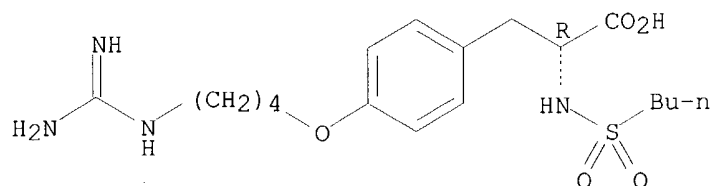
Absolute stereochemistry.



RN 188575-98-6 HCAPLUS

CN D-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

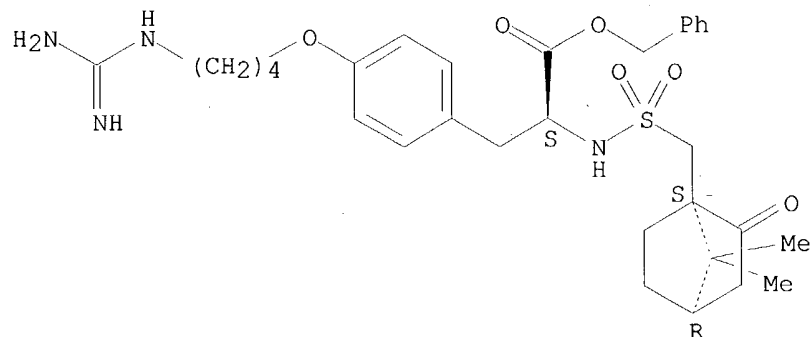
Absolute stereochemistry.



RN 188576-00-3 HCAPLUS

CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

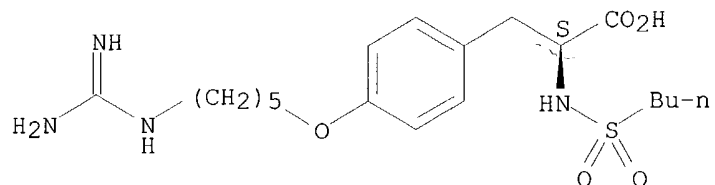
Absolute stereochemistry.



RN 188576-02-5 HCAPLUS

CN L-Tyrosine, O-[5-[(aminoiminomethyl)amino]pentyl]-N-(butylsulfonyl)- (9CI)  
(CA INDEX NAME)

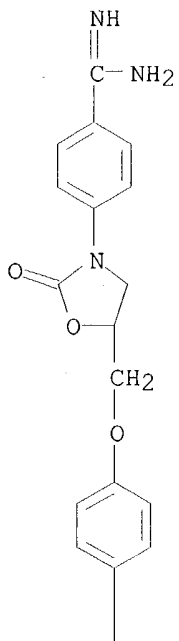
Absolute stereochemistry.



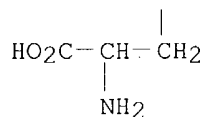
RN 188576-03-6 HCAPLUS

CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-  
, dihydrochloride (9CI) (CA INDEX NAME)

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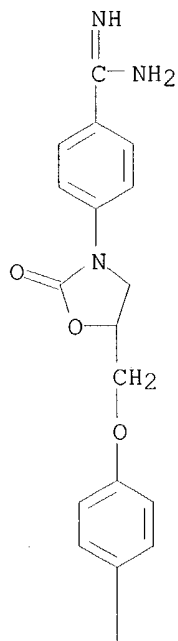
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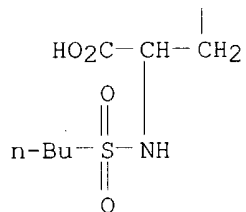
●2 HCl

RN 188576-04-7 HCAPLUS  
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 N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

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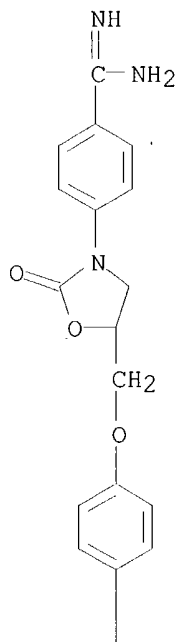


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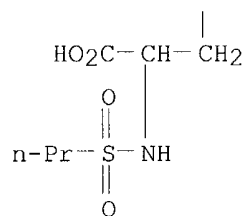


RN 188576-05-8 HCAPLUS  
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 N-(propylsulfonyl)- (9CI) (CA INDEX NAME)

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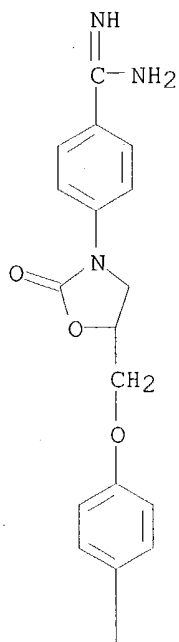


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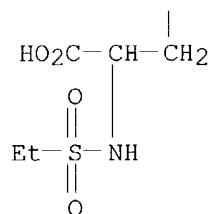


RN 188576-06-9 HCAPLUS  
 CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-  
 N-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

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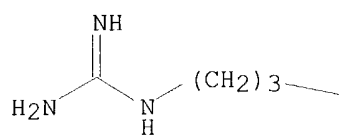
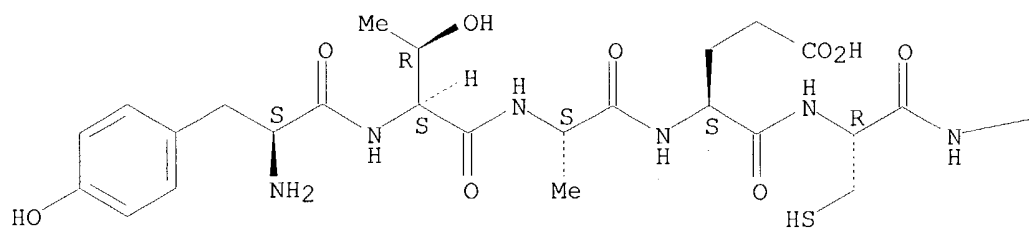
RN 188576-17-2 HCAPLUS

CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L- $\alpha$ -glutamyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutaminyl-L-valyl-L-threonyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-valyl-, monohydrochloride (9CI) (CA INDEX NAME)

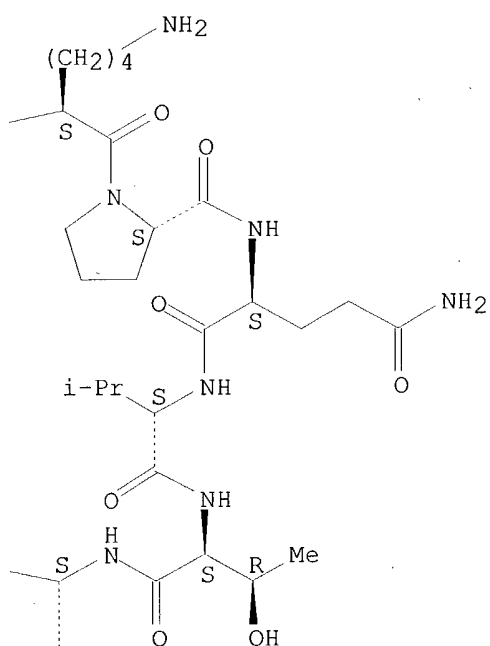
Absolute stereochemistry.



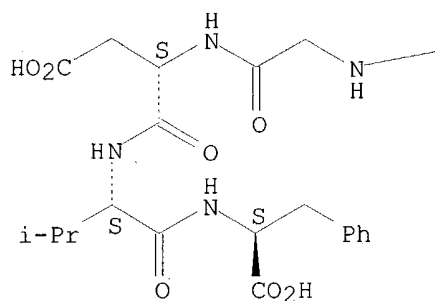
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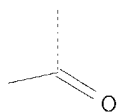


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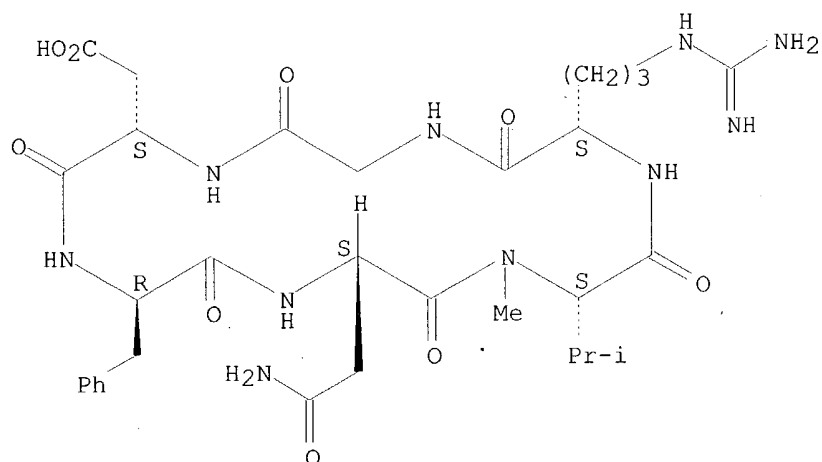
● HCl

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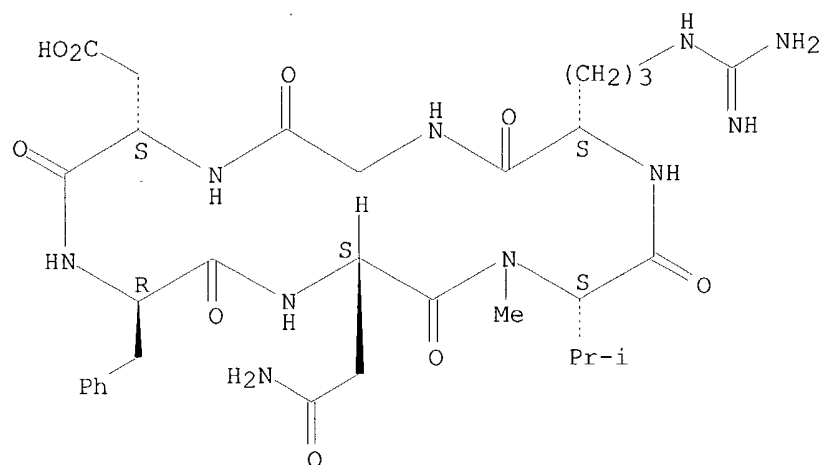
RN 188576-18-3 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L-asparaginyl-N-methyl-L-valyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-19-4 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-L-asparaginyl-N-methyl-L-valyl), monohydrochloride (9CI) (CA INDEX NAME)

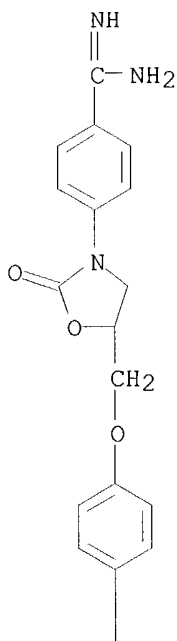
Absolute stereochemistry.



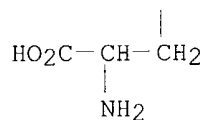
● HCl

RN 188576-20-7 HCAPLUS  
 CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-  
 (9CI) (CA INDEX NAME)

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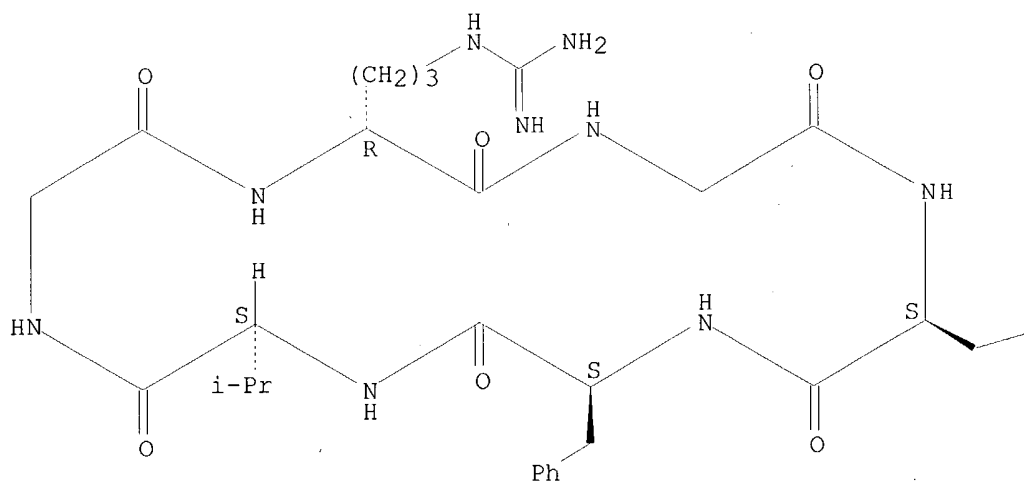
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RN 188601-17-4 HCAPLUS  
 CN Cyclo(D-arginylglycyl-L- $\alpha$ -aspartyl-L-phenylalanyl-L-valylglycyl),  
 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



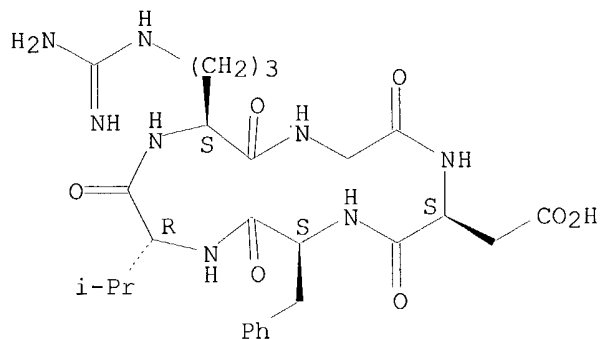
● HCl

PAGE 1-B

—CO<sub>2</sub>H

RN 188601-18-5 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L- $\alpha$ -aspartyl-L-phenylalanyl-D-valyl),  
 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 110-52-1, 1,4-Dibromobutane 111-24-0, 1,5-Dibromopentane  
 556-03-6, DL-Tyrosine 556-52-5, Oxiranemethanol  
 594-44-5, Ethanesulfonyl chloride 873-74-5,  
 p-Aminobenzonitrile 2386-60-9, Butanesulfonyl chloride  
 3978-80-1 10147-36-1, Propanesulfonyl chloride  
 21286-54-4, 10-Camphorsulfonyl chloride 38184-47-3,  
 3,5-Dimethylpyrazole-1-carboxamide nitrate 70642-86-3  
 142847-18-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of tyrosine derivs. as compds. useful for inhibition of  
 vitronectin  $\alpha v \beta 5$  integrin-mediated angiogenesis)

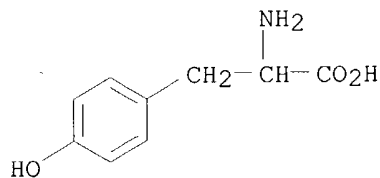
RN 110-52-1 HCAPLUS  
 CN Butane, 1,4-dibromo- (8CI, 9CI) (CA INDEX NAME)

Br- (CH<sub>2</sub>)<sub>4</sub>-Br

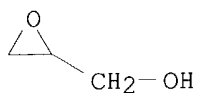
RN 111-24-0 HCAPLUS  
 CN Pentane, 1,5-dibromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Br- (CH<sub>2</sub>)<sub>5</sub>-Br

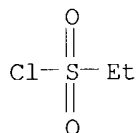
RN 556-03-6 HCAPLUS  
 CN Tyrosine (9CI) (CA INDEX NAME)



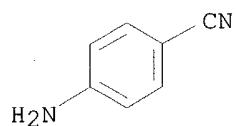
RN 556-52-5 HCAPLUS  
 CN Oxiranemethanol (9CI) (CA INDEX NAME)



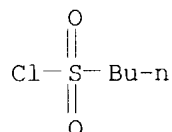
RN 594-44-5 HCAPLUS  
 CN Ethanesulfonyl chloride (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 873-74-5 HCAPLUS  
 CN Benzonitrile, 4-amino- (9CI) (CA INDEX NAME)

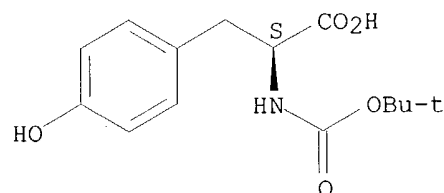


RN 2386-60-9 HCAPLUS  
 CN 1-Butanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)

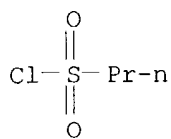


RN 3978-80-1 HCAPLUS  
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



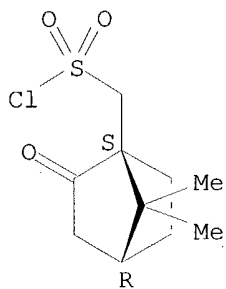
RN 10147-36-1 HCAPLUS  
 CN 1-Propanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 21286-54-4 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonyl chloride, 7,7-dimethyl-2-oxo-,  
(1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



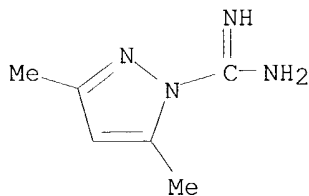
RN 38184-47-3 HCAPLUS

CN 1H-Pyrazole-1-carboximidamide, 3,5-dimethyl-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 22906-75-8

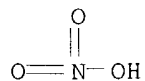
CMF C6 H10 N4



CM 2

CRN 7697-37-2

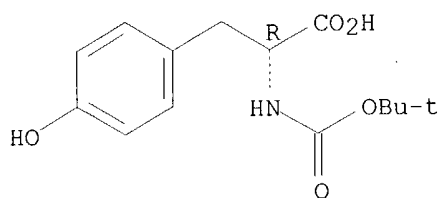
CMF H N O3



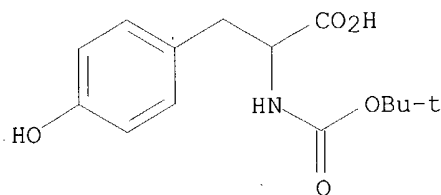
RN 70642-86-3 HCAPLUS

CN D-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142847-18-5 HCAPLUS  
CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

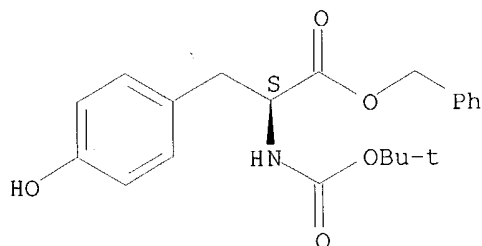


IT 19391-35-6P 129439-63-0P 178380-48-8P  
188575-90-8P 188575-91-9P 188575-92-0P  
188575-93-1P 188575-94-2P 188575-96-4P  
188575-99-7P 188576-01-4P 188576-07-0P  
188576-08-1P 188576-09-2P 188576-10-5P  
188576-11-6P 188576-13-8P 188576-14-9P  
188576-15-0P 188576-16-1P 188576-21-8P  
188576-22-9P 188576-23-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of tyrosine derivs. as compds. useful for inhibition of  
vitronectin  $\alpha v \beta 5$  integrin-mediated angiogenesis)

RN 19391-35-6 HCAPLUS  
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI)  
(CA INDEX NAME)

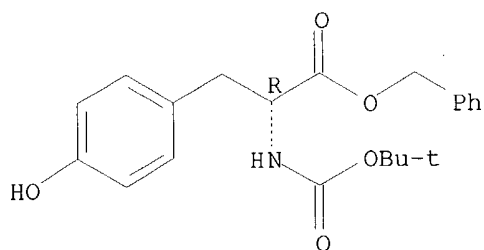
Absolute stereochemistry.



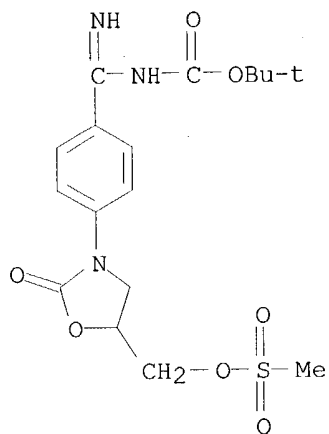
RN 129439-63-0 HCAPLUS  
CN D-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



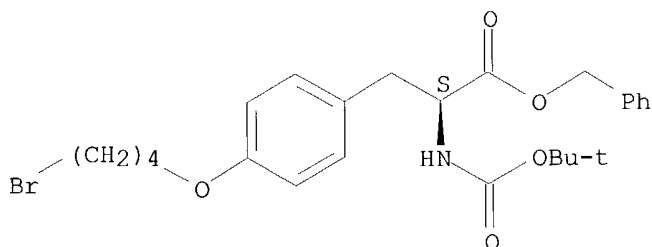


RN 178380-48-8 HCAPLUS  
 CN Carbamic acid, [imino[4-[5-[[[(methylsulfonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



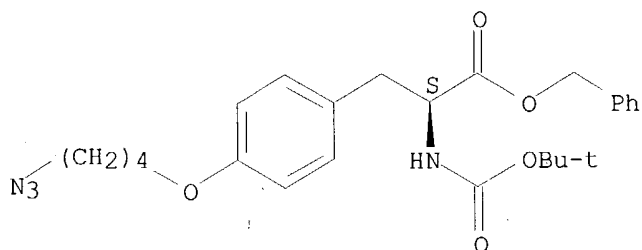
RN 188575-90-8 HCAPLUS  
 CN L-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188575-91-9 HCAPLUS  
 CN L-Tyrosine, O-(4-azidobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

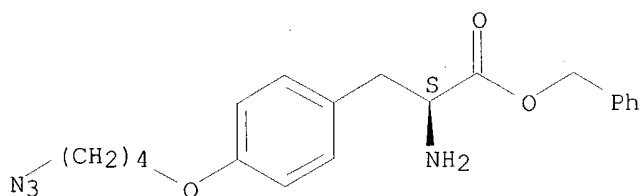
Absolute stereochemistry.



RN 188575-92-0 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

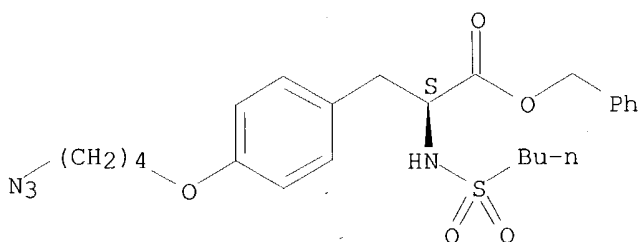
Absolute stereochemistry.



RN 188575-93-1 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI)  
(CA INDEX NAME)

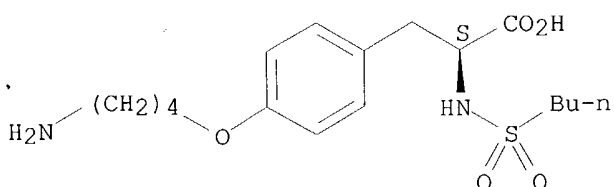
Absolute stereochemistry.



RN 188575-94-2 HCAPLUS

CN L-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

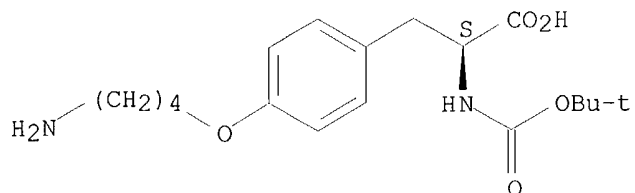
Absolute stereochemistry.



RN 188575-96-4 HCAPLUS

CN L-Tyrosine, O-(4-aminobutyl)-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

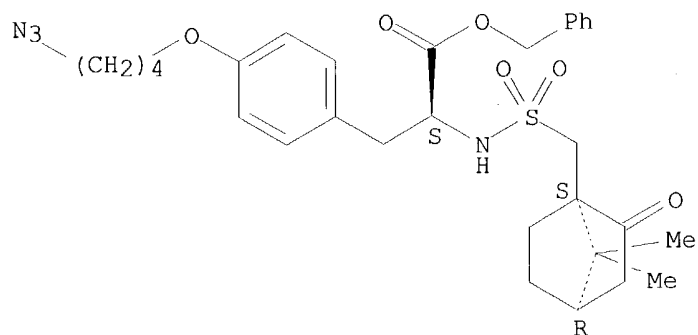
Absolute stereochemistry.



RN 188575-99-7 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]-, phenylmethyl ester (9CI)  
(CA INDEX NAME)

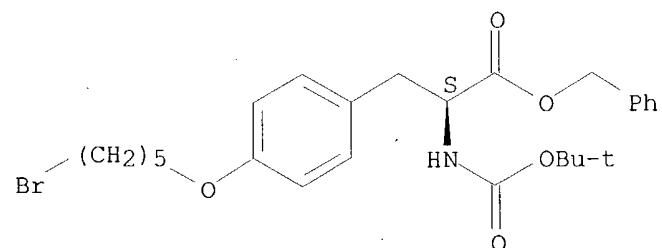
Absolute stereochemistry.



RN 188576-01-4 HCAPLUS

CN L-Tyrosine, O-(5-bromopentyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

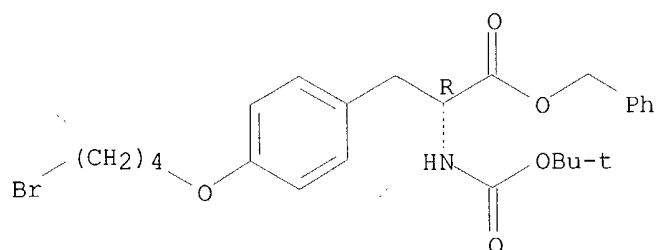
Absolute stereochemistry.



RN 188576-07-0 HCAPLUS

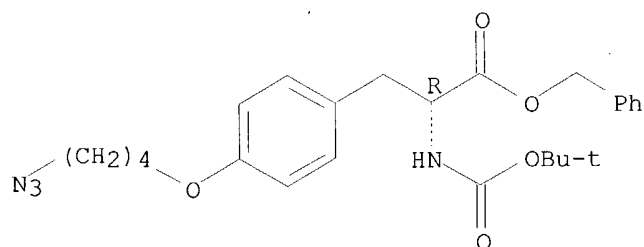
CN D-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



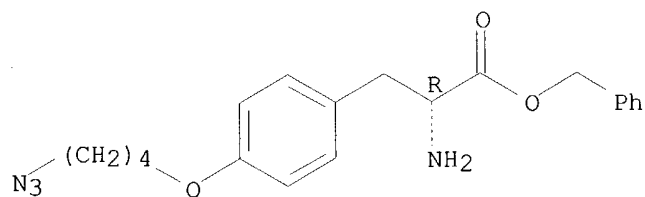
RN 188576-08-1 HCAPLUS  
 CN D-Tyrosine, O-(4-azidobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-,  
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



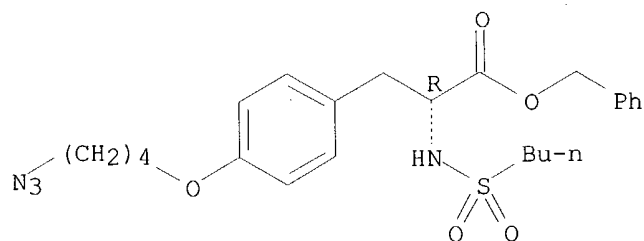
RN 188576-09-2 HCAPLUS  
 CN D-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-10-5 HCAPLUS  
 CN D-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI)  
 (CA INDEX NAME)

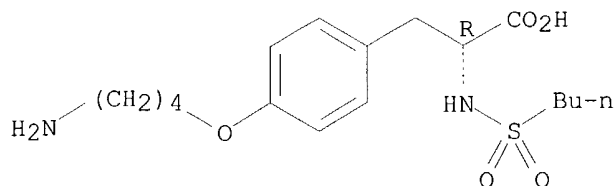
Absolute stereochemistry.



RN 188576-11-6 HCAPLUS

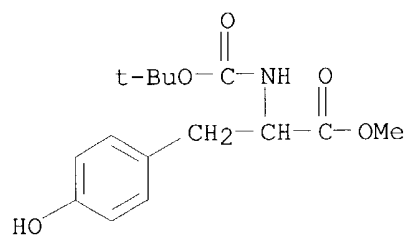
CN D-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



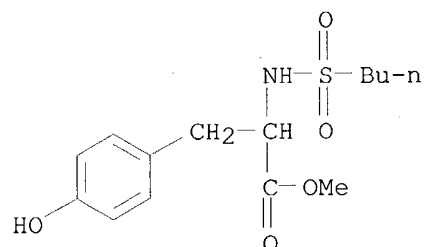
RN 188576-13-8 HCAPLUS

CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



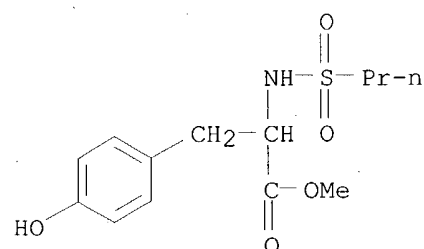
RN 188576-14-9 HCAPLUS

CN Tyrosine, N-(butylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



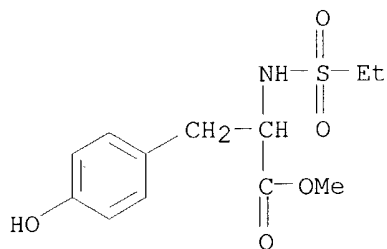
RN 188576-15-0 HCAPLUS

CN Tyrosine, N-(propylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 188576-16-1 HCAPLUS

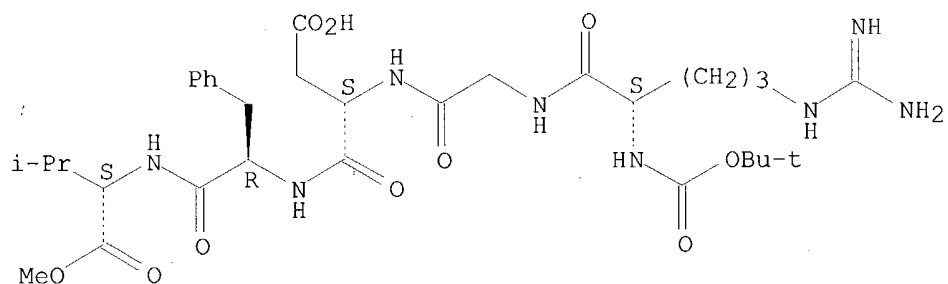
CN Tyrosine, N-(ethylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 188576-21-8 HCAPLUS

CN L-Valine, N2-[(1,1-dimethylethoxy)carbonyl]-L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl-, 5-methyl ester (9CI) (CA INDEX NAME)

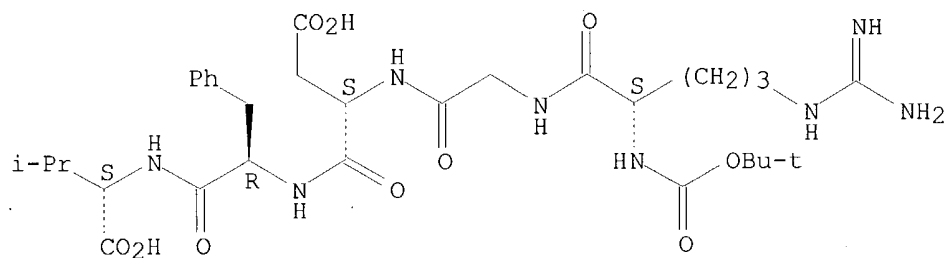
Absolute stereochemistry.



RN 188576-22-9 HCAPLUS

CN L-Valine, N2-[(1,1-dimethylethoxy)carbonyl]-L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-23-0 HCAPLUS

CN L-Valine, L-arginylglycyl-L- $\alpha$ -aspartyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

